

INVENTOR SEARCH

=> d his l106

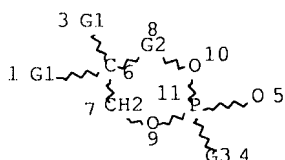
(FILE 'HCAPLUS' ENTERED AT 16:01:51 ON 15 OCT 2007)

L106 23 S L103-L105

=> d que l106

L2 36 SEA FILE=REGISTRY ABB=ON PLU=ON (10025-87-3/BI OR
 13507-10-3/BI OR 14690-00-7/BI OR 156-54-7/BI OR
 16727-61-0/BI OR 187976-16-5/BI OR 22102-92-7/BI OR
 26362-71-0/BI OR 366806-33-9/BI OR 459-73-4/BI OR
 504-63-2/BI OR 52066-54-3/BI OR 52660-18-1/BI OR
 534-03-2/BI OR 600174-74-1/BI OR 600174-75-2/BI OR
 600174-76-3/BI OR 600174-77-4/BI OR 600174-78-5/BI OR
 600174-79-6/BI OR 600174-80-9/BI OR 600174-81-0/BI OR
 600174-82-1/BI OR 600174-83-2/BI OR 600174-84-3/BI OR
 601606-59-1/BI OR 601606-60-4/BI OR 601606-61-5/BI OR
 601606-62-6/BI OR 623-33-6/BI OR 64821-66-5/BI OR
 68755-22-6/BI OR 74-89-5/BI OR 7664-41-7/BI OR
 77-86-1/BI OR 88946-00-3/BI)

L6 STR

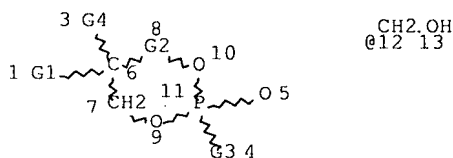


VAR G1=H/N/O/C
 REP G2=(0-1) CH2
 VAR G3=N/O
 NODE ATTRIBUTES:
 CONNECT IS E1 RC AT 5
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L8 2404 SEA FILE=REGISTRY SSS FUL L6
 L10 16 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L2
 L11 54 SEA FILE=HCAPLUS ABB=ON PLU=ON L10
 L12 1512 SEA FILE=HCAPLUS ABB=ON PLU=ON L8
 L13 QUE ABB=ON PLU=ON PHARMAC?/SC,SX
 L16 QUE ABB=ON PLU=ON PY<2003 OR PRY<2003 OR AY<2003 OR
 MY<2003 OR REVIEW/DT
 L18 STR

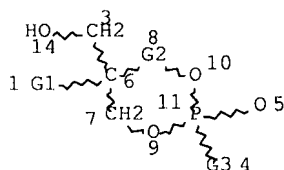


VAR G1=H/N/O/C

REP G2=(0-1) CH2
 VAR G3=N/O
 VAR G4=H/12
 NODE ATTRIBUTES:
 CONNECT IS E1 RC AT 5
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 12

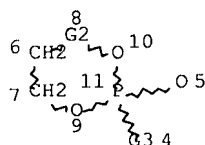
STEREO ATTRIBUTES: NONE
 L20 1505 SEA FILE=REGISTRY SUB=L8 SSS FUL L18
 L21 16 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L20
 L25 STR



VAR G1=H/N/O/C
 REP G2=(0-1) CH2
 VAR G3=N/O
 NODE ATTRIBUTES:
 CONNECT IS E1 RC AT 5
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
 L27 58 SEA FILE=REGISTRY SUB=L8 SSS FUL L25
 L28 2 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L27
 L36 79 SEA FILE=HCAPLUS ABB=ON PLU=ON L27
 L37 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L36 AND L13
 L38 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L37 AND L16
 L39 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND L13
 L40 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L39 AND L16
 L41 13 SEA FILE=HCAPLUS ABB=ON PLU=ON (L37 OR L38 OR L39 OR L40)
 L42 STR



REP G2=(0-1) CH2
 VAR G3=N/O
 NODE ATTRIBUTES:
 CONNECT IS E1 RC AT 5
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 8

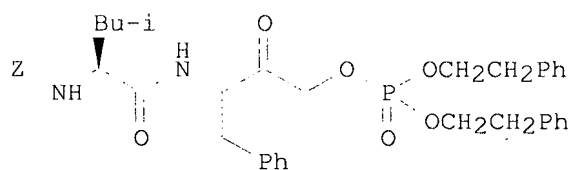
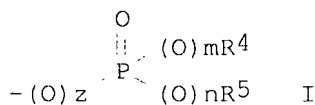
STEREO ATTRIBUTES: NONE

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:224038 CAPLUS
DOCUMENT NUMBER: 126:212447
TITLE: Phosphorous-containing dipeptide inhibitors of
cysteine and serine protease
INVENTOR(S): Mallamo, John P.; Bihovsky, Ron; Tao, Ming; Wells,
Gregory J.
PATENT ASSIGNEE(S): Cephalon, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 9703679 | A1 | 19970206 | WO 1996-US11625 | 19960712 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN. | | | | |
| US 5639732 | A | 19970617 | US 1996-679342 | 19960710 |
| CA 2226414 | A1 | 19970206 | CA 1996-2226414 | 19960712 |
| AU 9664583 | A | 19970218 | AU 1996-64583 | 19960712 |
| EP 871454 | A1 | 19981021 | EP 1996-923756 | 19960712 |
| EP 871454 | B1 | 20031112 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 11509231 | T | 19990817 | JP 1996-506762 | 19960712 |
| AT 253920 | T | 20031115 | AT 1996-923756 | 19960712 |
| EP 1389624 | A1 | 20040218 | EP 2003-78371 | 19960712 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| PT 871454 | T | 20040331 | PT 1996-923756 | 19960712 |
| ES 2210377 | T3 | 20040701 | ES 1996-923756 | 19960712 |
| HK 1016495 | A1 | 20040813 | HK 1999-101617 | 19990414 |
| PRIORITY APPLN. INFO.: | | | US 1995-1491P | P 19950717 |
| | | | US 1996-679342 | A 19960710 |
| | | | EP 1996-923756 | A3 19960712 |
| | | | WO 1996-US11625 | W 19960712 |

OTHER SOURCE(S): MARPAT 126:212447
GI



AB The present invention is directed to novel phosphorous-containing inhibitors of cysteine or serine proteases of the formula X-W-Y-CH(R2)-CO-NH-CH(R1)-CO-[CH(R3)]_t-Q wherein: X = e.g., C6-C14 aryl, heteroaryl with C6-C14 ring atoms, C1-C10 alkyl (un) substituted with one or more J groups, C1-C10 alkoxy; W = CO, SO₂; Y = NH, (CH₂)_k where k = 0-3; R1 and R2 are independently, e.g., H, C1-C14 alkyl (un) substituted with one or more J groups, C3-C10 cycloalkyl (un) substituted with one or more J groups; R3 = e.g., H, lower alkyl, aryl, heteroaryl; t = 0 or 1; Q = I wherein m, n, and z are independently 0 or 1; R4 and R5 are independently, e.g., H, lower alkyl (un) substituted with J, heteroaryl (un) substituted with J, or taken together to form a 5-8 membered heterocyclic ring (un) substituted with J; J = e.g., halogen, alkyl, guanidino, alkoxy. Thus, e.g., substitution reaction of Z-Leu-Phe-CH₂Br with bis(phenethyl)phosphate afforded dipeptide derivative II (Z = PhCH₂O₂C) in 62% yield which exhibited 99% inhibition of calpain I at 0.1 μM. Methods for the use of the protease inhibitors are also described.

IT 187976-16-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phosphorous-containing dipeptide inhibitors of cysteine and serine protease)

RN 187976-16-5 CAPLUS

CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-5-(phenylmethoxy)-, 2-oxide (9CI) (CA INDEX NAME)

